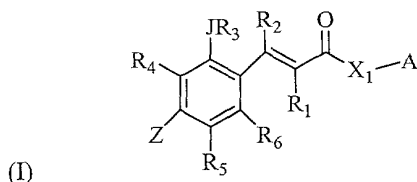


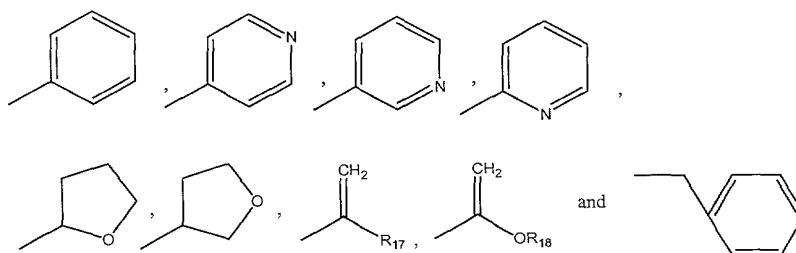
**WE CLAIM:**

1. A compound comprising the formula:



wherein:

- 5  $R_1$  and  $R_2$  are individually selected from the group consisting of H,  $CH_3$ ,  $C_2$ - $C_{10}$  alkyls,  $C_2$ - $C_{10}$  alkenyls or  $C_2$ - $C_{10}$  alkynyls, each of which can be substituted or unsubstituted; straight or branched,  $C_2$ - $C_{10}$  heteroalkyls,  $C_2$ - $C_{10}$  heteroalkenyls or  $C_2$ - $C_{10}$  heteroalkynyls and  $-(CR_{15}R_{16})_p$ -D;
- 10 wherein:  $R_{15}$  and  $R_{16}$  are individually selected from the group consisting of H,  $CH_3$ ,  $C_2$ - $C_{10}$  alkyls,  $C_2$ - $C_{10}$  alkenyls or  $C_2$ - $C_{10}$  alkynyls, each of which can be substituted or unsubstituted; straight or branched; and  $C_2$ - $C_{10}$  heteroalkyls,  $C_2$ - $C_{10}$  heteroalkenyls or  $C_2$ - $C_{10}$  heteroalkynyls; p is a positive integer from 1 to about 12;
- D is selected from among -SH, -OH,  $X_2$ , -CN, -OR<sub>19</sub>, NHR<sub>20</sub>,



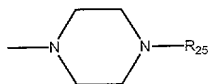
- 15 wherein:
- $R_{17}$  is H,  $CH_3$  or  $X_3$ ;
- $R_{18}$  is H, a  $C_{1-4}$  alkyl or benzyl;
- $R_{19}$  is H, a  $C_{1-4}$  alkyl,  $X_2$  or benzyl;
- 20  $R_{20}$  is H, a  $C_{1-10}$  alkyl or -C(O) $R_{21}$ ,
- wherein  $R_{21}$  is H, a  $C_{1-4}$  alkyl or alkoxy, t-butoxy or benzyloxy;
- $X_2$  and  $X_3$  are independently selected halogens;

- $R_3$  is H,  $CH_3$ , or  $-C(=O)(CR_{15}R_{16})_w$ -D,
- 25 where w is 0 or an integer from 1 to about 12, and D is H or as described for  $R_1$  and  $R_2$

J is O, NH or S;

R<sub>4</sub>, R<sub>5</sub>, and R<sub>6</sub> are independently selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>-C<sub>10</sub> alkyls, C<sub>2</sub>-C<sub>10</sub> alkenyls or C<sub>2</sub>-C<sub>10</sub> alkynyls, each of which can be substituted or unsubstituted; straight or branched; C<sub>2</sub>-C<sub>10</sub> heteroalkyls, heteroalkenyls or heteroalkynyls and halogens;

Z is NR<sub>7</sub>R<sub>8</sub> or



wherein R<sub>7</sub> is selected from among H, CH<sub>3</sub>, C<sub>2</sub>-C<sub>10</sub> alkyls, alkenyls or alkynyls which can be substituted or unsubstituted; straight or branched; C<sub>2</sub>-C<sub>10</sub> heteroalkyls, heteroalkenyls or heteroalkynyls, or  $-(\text{CR}_{23}\text{R}_{24})_q\text{-aryl}$ , or R<sub>8</sub>,

wherein R<sub>23</sub> and R<sub>24</sub> are independently selected from the group consisting of H and C<sub>1</sub>-C<sub>10</sub> alkyls;

q is an integer from 1 to about 6;

R<sub>8</sub> is selected from the group consisting of  $(\text{CR}_9\text{R}_{10})_n\text{-NR}_{22}\text{-R}_{11}$ ,  $(\text{CR}_9\text{R}_{10})_n\text{-CH}_2\text{-NHC(O)R}_{26}$  and  $(\text{CR}_9\text{R}_{10})_n\text{-CH}_2\text{-E}$ ;

wherein R<sub>9</sub> and R<sub>10</sub> are independently selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>-C<sub>10</sub> alkyls, C<sub>2</sub>-C<sub>10</sub> alkenyls or C<sub>2</sub>-C<sub>10</sub> alkynyls, each of which can be substituted or unsubstituted; straight or branched; C<sub>2</sub>-C<sub>10</sub> heteroalkyls, C<sub>2</sub>-C<sub>10</sub> heteroalkenyls or C<sub>2</sub>-C<sub>10</sub> heteroalkynyls and halogens;

R<sub>26</sub> is H, CH<sub>3</sub>, O-t-butyl, O-benzyl;

E is OH, SH or O-C(O)R<sub>27</sub>,

wherein R<sub>27</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl, benzyl or phenyl;

R<sub>22</sub> is H or CH<sub>3</sub>;

n is a positive integer from 1 to about 10;

R<sub>11</sub> is H or -L-B,

wherein L is a linker; and

B is a first active moiety, reactive group moiety or a polymer;

R<sub>25</sub> is H, -C(O)-R<sub>28</sub> or -C(O)-O-R<sub>29</sub>,

wherein R<sub>28</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl or benzyl; and R<sub>29</sub> is CH<sub>3</sub>, t-butyl or benzyl;

X<sub>1</sub> is O, NH, or S; and

A is H or a second active moiety.

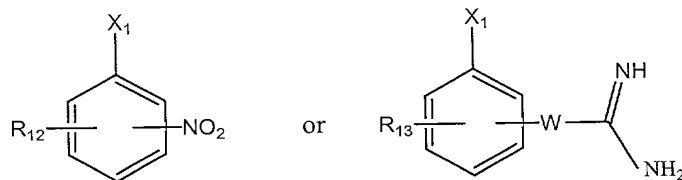
2. The compound of claim 1, wherein Z is NR<sub>7</sub>R<sub>8</sub>.

3. The compound of claim 2, wherein  $R_8$  is  $-\text{CH}_2-\text{CH}_2-\text{NH}_2$ .
4. The compound of claim 2, wherein  $R_8$  is  $(\text{CR}_9\text{R}_{10})_n-\text{NR}_{22}-\text{R}_{11}$ .
5. The compound of claim 1, wherein L-B comprises a maleimidyl or an N-hydroxysuccinimidyl group.
6. The compound of claim 4, wherein  $R_{11}$  comprises a polyalkylene oxide residue.
7. The compound of claim 6, wherein said polyalkylene oxide residue is a polyethylene glycol.
8. The compound of claim 7, wherein said polyethylene glycol has a number average molecular weight of from about 2,000 to about 200,000 daltons.
9. The compound of claim 4, wherein  $R_{11}$  comprises a member of the group consisting of collagen, glycosaminoglycan, poly(-aspartic acid), poly(-L-lysine), poly(-lactic acid), poly-N-vinylpyrrolidone and copolymers of poly(-lactic acid) and poly(-glycolic acid).
10. The compound of claim 1, wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ , and  $R_6$  are independently selected from the group consisting of H,  $\text{CH}_3$  and  $\text{CH}_3\text{CH}_2$ .
11. The compound of claim 4, wherein  $R_7$  is  $\text{CH}_3\text{CH}_2$ ;  $R_8$  is  $-(\text{CR}_9\text{R}_{10})_n-\text{NR}_{22}-\text{R}_{11}$ ; and  $R_9$  and  $R_{10}$  are H;  $n$  is 2; and  $X_1$  is O, S or NH.
12. The compound of claim 4, wherein  $R_7$  is  $\text{CH}_3\text{CH}_2$ ;  $R_8$  is  $-(\text{CR}_9\text{R}_{10})_n-\text{NR}_{22}-\text{R}_{11}$  and  $R_9$  and  $R_{10}$  are H.
13. The compound of claim 1, wherein said second active moiety comprises a member of the group consisting of  $X_1A_1$  or  $X_1A_2$  wherein  
 $X_1A_1$  is a substrate or substrate analog selected from the group consisting of amino acids, amino acid derivatives, peptides, peptide derivatives and substrates or substrate

analogs for serine proteases, cysteine proteases, esterases, lipases, or other enzymes containing an active site serine or cysteine; and

$X_1A_2$  is an enzyme.

- 5 14. The compound of claim 13, wherein  $X_1A_1$  is a moiety of the formula



wherein  $R_{12}$  and  $R_{13}$  are independently H or electron donating or electron withdrawing groups and  $W$  is CH or N.

- 10 15. The compound of claim 13, wherein  $A_2$  is an enzyme selected from the group consisting of serine proteases, cysteine proteases, esterases, lipases and enzymes containing an active-site serine or cysteine.

- 15 16. The compound of claim 14, wherein  $J$  is O,  $R_2$  is H,  $R_7$  is  $CH_3CH_2$ ;  $R_8$  is  $-(CR_9R_{10})_n-NR_{22}-R_{11}$ ,  $R_9$  and  $R_{10}$  are H, and  $n$  is 2.

17. The compound of claim 15, wherein  $X_1A_2$  is an enzyme having an active-site serine or cysteine.

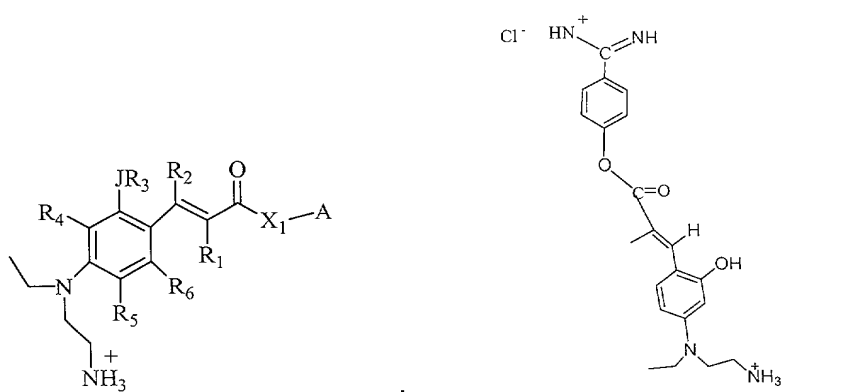
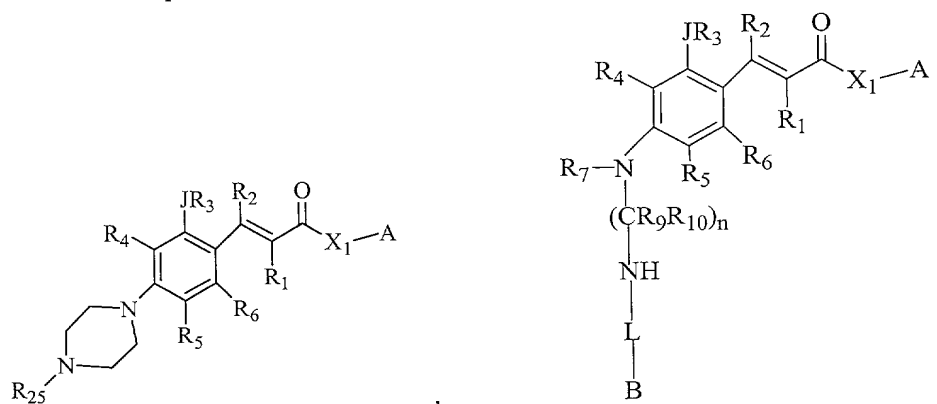
- 20 18. The compound of claim 11, wherein  $X_1A_2$  is a blood coagulation factor.

19. The compound of claim 11, wherein the enzyme is selected from the group consisting of plasmins, urokinases, and tissue plasminogen activators.

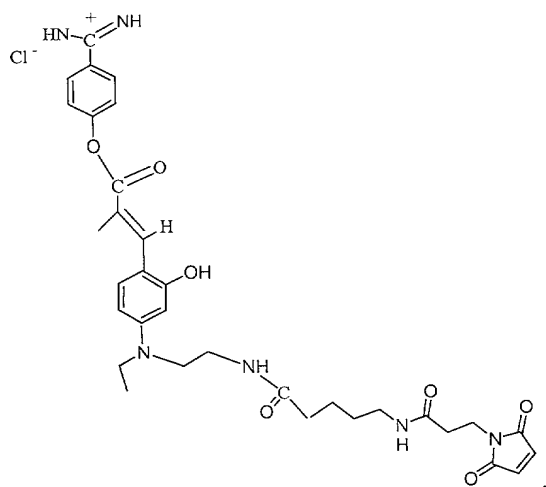
- 25 20. The compound of claim 13, wherein  $X_1A_1$  is an amino acid, peptide, or substrate or substrate analog capable of interacting with an enzyme.

21. The compound of claim 20, wherein said amino acid is selected from the group consisting of isoleucine, phenylalanine, tyrosine, lysine, arginine, aspartate, glutamate, glutamine and asparagine.
- 30

22. A compound of claim 1 selected from the group consisting of:



5



[illegible]

PEG is a polyethylene glycol having a molecular weight of from about 2,000 to about

mAb is a monoclonal antibody.

10 24. The compound of claim 1, wherein L-B comprises a maleimidyl or an N-hydroxysuccinimidyl group.

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26. A method of treatment, comprising:  
administering to a mammal in need of such treatment an effective amount of a compound of claim 1, where B is a first active moiety.

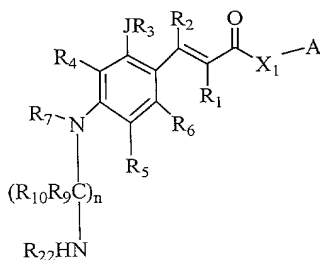
27. The method of claim 26, further comprising exposing the compound of claim 1 to an energy source after administration to said mammal.

28. The method of claim 27, wherein the energy source is white light having a wavelength in the range from 340 to 700 nm.

29. The method of claim 27, wherein the energy source is white light having a wavelength in the range from 350- 420 nm.

30. The method of claim 27, wherein the energy source is selected from the group consisting of microwave, ultrasound, radio energy, gamma radiation, radioactivity, ultraviolet light and infrared light.

31. A method of preparing a conjugate, comprising:  
reacting a compound of Formula (IV)



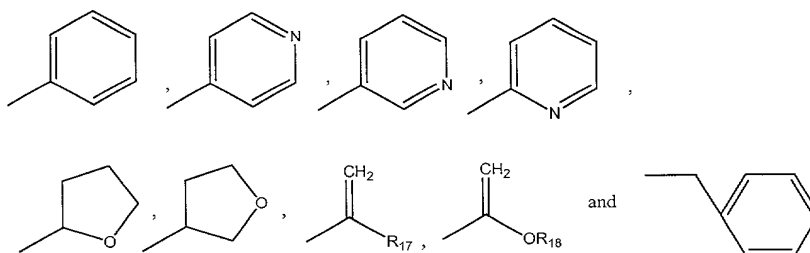
(IV)  
wherein:

R<sub>1</sub> and R<sub>2</sub> are individually selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>-C<sub>10</sub> alkyls, C<sub>2</sub>-C<sub>10</sub> alkenyls or C<sub>2</sub>-C<sub>10</sub> alkynyls, each of which can be substituted or unsubstituted; straight or branched, C<sub>2</sub>-C<sub>10</sub> heteroalkyls, C<sub>2</sub>-C<sub>10</sub> heteroalkenyls or C<sub>2</sub>-C<sub>10</sub> heteroalkynyls and -(CR<sub>15</sub>R<sub>16</sub>)<sub>p</sub>-D

wherein: R<sub>15</sub> and R<sub>16</sub> are individually selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>-C<sub>10</sub> alkyls, C<sub>2</sub>-C<sub>10</sub> alkenyls or C<sub>2</sub>-C<sub>10</sub> alkynyls, each of which can be substituted or unsubstituted; straight or branched; and C<sub>2</sub>-C<sub>10</sub> heteroalkyls, C<sub>2</sub>-C<sub>10</sub> heteroalkenyls or C<sub>2</sub>-C<sub>10</sub> heteroalkynyls;

p is a positive integer from 1 to about 12;

D is selected from among -SH, -OH, X<sub>2</sub>, -CN, -OR<sub>19</sub>, NHR<sub>20</sub>,



wherein:

5

R<sub>17</sub> is H, a CH<sub>3</sub> or X<sub>3</sub>;

R<sub>18</sub> is H, a C<sub>1-4</sub> alkyl or benzyl;

R<sub>19</sub> is H, a C<sub>1-4</sub> alkyl, X<sub>2</sub> or benzyl;

R<sub>20</sub> is H, a C<sub>1-10</sub> alkyl or -C(O)R<sub>21</sub>

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wherein R<sub>21</sub> is H, a C<sub>1-4</sub> alkyl or alkoxy, t-butoxy or benzyloxy;

X<sub>2</sub> and X<sub>3</sub> are independently selected halogens;

R<sub>3</sub> is H, CH<sub>3</sub>, or -C(=O)(CR<sub>15</sub>R<sub>16</sub>)<sub>w</sub>-D,

where w is 0 or an integer from 1 to about 12, and D is H or as described for R<sub>1</sub> and R<sub>2</sub>.

J is O, NH or S;

15

R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> independently selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>-C<sub>10</sub> alkyls, C<sub>2</sub>-C<sub>10</sub> alkenyls or C<sub>2</sub>-C<sub>10</sub> alkynyls, each of which can be substituted or unsubstituted; straight or branched; C<sub>2</sub>-C<sub>10</sub> heteroalkyls, heteroalkenyls or heteroalkynyls and halogens;

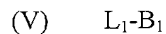
R<sub>7</sub> is selected from among H, CH<sub>3</sub> and C<sub>2</sub>-C<sub>10</sub> alkyls;

20

X<sub>1</sub> is O, NH, or S; and

A is H or a second active moiety;

with a compound of the Formula (V):



25

wherein L<sub>1</sub> is a moiety containing a functional group capable of reacting with the NHR<sub>22</sub> of Formula (IV);

and B<sub>1</sub> is selected from the group consisting of polymers, biologically active materials and polymeric supports.